10/719,997

* * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

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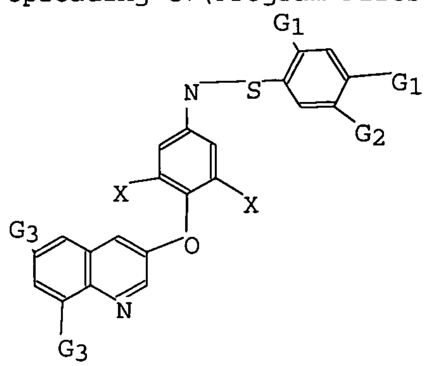
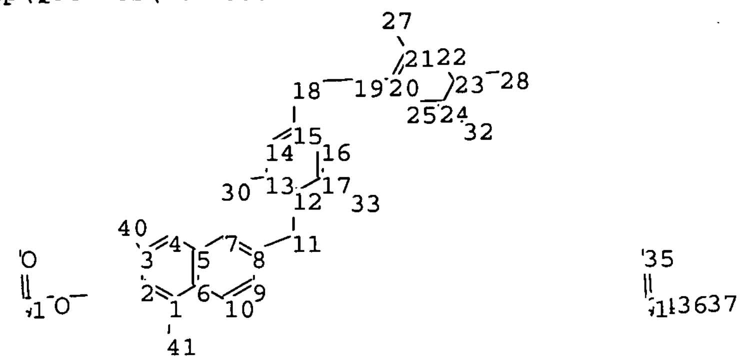




chain nodes :
11 18 19 27 28 30 32 33 34 35 36 37 40 41
ring nodes :
1 2 3 4 5 6 7 8 9 10 12 13 14 15 16 17 20 21 22 23 24 25
chain bonds :
1-41 3-40 8-11 11-12 13-30 15-18 17-33 18-19 19-20 21-27 23-28 24-32
34-35 34-36 36-37
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 12-13 12-17 13-14 14-15
15-16 16-17 20-21 20-25 21-22 22-23 23-24 24-25
exact/norm bonds :
1-41 3-40 8-11 11-12 15-18 18-19 19-20 21-27 23-28 24-32 34-35 34-36
36-37
exact bonds :
13-30 17-33
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 12-13 12-17 13-14 14-15
15-16 16-17 20-21 20-25 21-22 22-23 23-24 24-25
isolated ring systems :
containing 1 : 12 : 20 :

G1:CF3,X

G2:H,CH3,Ak

```
G3:H,CH3,CO2H,COOH,Ak,[*1]

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:CLASS  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:CLASS  19:CLASS
20:Atom  21:Atom  22:Atom  23:Atom  24:Atom  25:Atom  27:CLASS  28:CLASS  30:CLASS
32:CLASS  33:CLASS  34:CLASS  35:CLASS  36:CLASS  37:CLASS  40:CLASS  41:CLASS



L1        STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1                STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.


=> s l1 full
L3             12 SEA SSS FUL L1

=> file ca

=> s l3
L4             4 L3

=> d ibib abs hitstr 1-4
```

L4 ANSWER 1 OF 4 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 142:392304 CA

TITLE: A preparation of salts and polymorphs of quinoline derivative, useful as a potent antidiabetic compounds

INVENTOR(S): Kruk, Henry T.; McGee, Lawrence R.; Yang, Bing

PATENT ASSIGNEE(S): Amgen, USA

SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

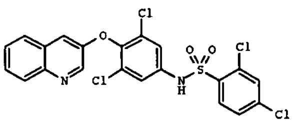
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005033074	A2	20050414	WO 2004-US32552	20041004

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-508470P P 20031003

GI



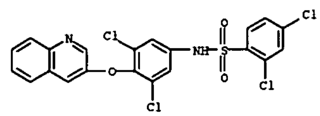
I

AB The invention relates to a preparation of salts and polymorphs of quinoline derivative I, useful in the treatment of PPARγ-mediated conditions. In particular, the invention provides salts and polymorphs of a compound which modulates the expression and/or function of a peroxisome proliferator-activated receptor. Quinoline derivative I (PPARγ ligand binding assay, IC50 < 1 μM) was prepared via amidation of 2,4-dichlorobenzenesulfonyl chloride by 3,5-dichloro-4-(3,4-dihydroquinolin-3-yloxy)phenylamine. The salts and polymorphs are useful for the treatment or prevention of conditions and disorders associated with energy homeostasis such as type II diabetes, lipid metabolism, adipocyte differentiation and inflammation.

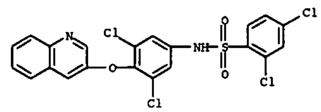
IT 315224-26-1P 849738-77-8P 849738-78-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of salts and polymorphs of quinoline deriv. useful as a potent antidiabetic compds.)

L4 ANSWER 1 OF 4 CA COPYRIGHT 2005 ACS on STN (Continued)

RN 315224-26-1 CA
CN Benzenesulfonamide, 2,4-dichloro-N-[3,5-dichloro-4-(3-quinolinyloxy)phenyl]- (9CI) (CA INDEX NAME)



RN 849738-77-8 CA
CN Benzenesulfonamide, 2,4-dichloro-N-[3,5-dichloro-4-(3-quinolinyloxy)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 849738-78-9 CA
CN Benzenesulfonamide, 2,4-dichloro-N-[3,5-dichloro-4-(3-quinolinyloxy)phenyl]-, monobenzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 315224-26-1
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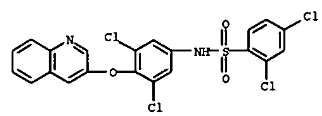

CM 2

CRN 98-11-3

L4 ANSWER 1 OF 4 CA COPYRIGHT 2005 ACS on STN (Continued)
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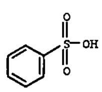


L4 ANSWER 2 OF 4 CA COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 136:69820 CA

TITLE: Preparation of quinolinyl and benzothiazolyl PPAR-gamma modulators

INVENTOR(S): Mcgee, Lawrence R.; Houze, Jonathan B.; Rubenstein, Steven M.; Hagiwara, Atsushi; Furukawa, Noboru; Shinkai, Hisashi

PATENT ASSIGNEE(S): Tularik Inc., USA; Japan Tobacco, Inc.

SOURCE: PCT Int. Appl., 162 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000633	A1	20020103	WO 2001-US20756	20010627

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2412723	AA	20020103	CA 2001-2412723	20010627
US 2002169185	A1	20021114	US 2001-894980	20010627
US 6583157	B2	20030624		
EP 1296967	A1	20030402	EP 2001-950669	20010627

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

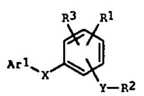
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BR 2001012115	A	20030429	BR 2001-12115	20010627
JP 2004501905	T2	20040122	JP 2002-505381	20010627
NZ 523229	A	20041029	NZ 2001-523229	20010627
US 2003171399	A1	20030911	US 2002-278851	20021021
NO 2002006156	A	20030225	NO 2002-6156	20021220
US 2004176409	A1	20040909	US 2003-719997	20031120

Parent

PRIORITY APPLN. INFO.:
US 2000-214810P P 20000628
US 1998-73042P P 19980129
US 2001-894980 A1 20010627
WO 2001-US20756 W 20010627
US 2002-278851 B1 20021021

OTHER SOURCE(S): MARPAT 136:69820

GI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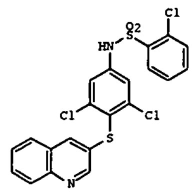
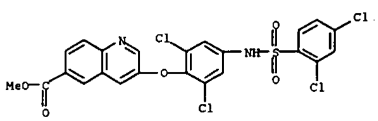

II

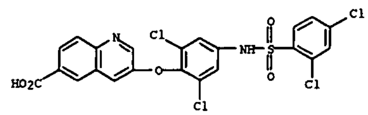
AB The title compds. [I; Ar1 = (un)substituted 2-benzothiazolyl or quinolinyl; X = O, CO, CHR10, NR11, S(O)k; Y = NR12SO2; R1 = H, halo, alkyl, etc.; R2 = (un)substituted aryl; R3 = halo, alkoxy; R10 = H, CN, alkyl; R11 = H, alkyl; R12 = H, alkyl; k = 0-2], useful in the treatment or prevention of a condition or disorder mediated by PPARγ such as diabetes, obesity, hypercholesterolemia, rheumatoid arthritis and atherosclerosis, were prepared Thus, reacting 3,5-dichloro-4-(quinolin-3-ylsulfanyl)aniline (preparation given) with 2-chlorobenzenesulfonyl chloride in the presence of pyridine and catalytic amount of DMAP in THF/CH2Cl2 afforded 78% II which showed IC50 of < 1 μM against PPARγ ligand binding.

IT **315224-28-3P 315224-30-7P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinolinyl and benzothiazolyl PPAR-gamma modulators)

RN 315224-28-3 CA
CN 6-Quinolinecarboxylic acid, 3-[2,6-dichloro-4-[[(2,4-dichlorophenyl)sulfonyl]amino]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

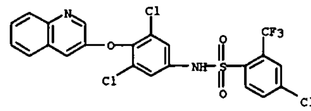


RN 315224-30-7 CA
CN 6-Quinolinecarboxylic acid, 3-[2,6-dichloro-4-[[(2,4-dichlorophenyl)sulfonyl]amino]phenoxy]- (9CI) (CA INDEX NAME)

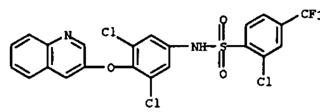


IT **315224-24-9P 315224-25-0P 315224-26-1P 315224-29-4P 315224-31-8P 315224-33-0P 315224-34-1P 315226-32-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinolinyl and benzothiazolyl PPAR-gamma modulators)

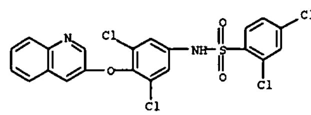
RN 315224-24-9 CA
CN Benzenesulfonamide, 4-chloro-N-[3,5-dichloro-4-(3-quinolinyloxy)phenyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



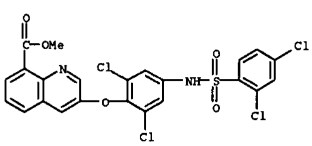
RN 315224-25-0 CA
CN Benzenesulfonamide, 2-chloro-N-[3,5-dichloro-4-(3-quinolinyloxy)phenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



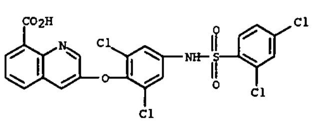
RN 315224-26-1 CA
CN Benzenesulfonamide, 2,4-dichloro-N-[3,5-dichloro-4-(3-quinolinyloxy)phenyl]- (9CI) (CA INDEX NAME)



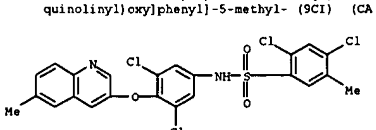
RN 315224-29-4 CA
CN 8-Quinolinecarboxylic acid, 3-[2,6-dichloro-4-[[(2,4-dichlorophenyl)sulfonyl]amino]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)



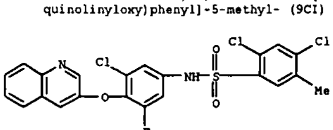
RN 315224-31-8 CA
CN 8-Quinolinecarboxylic acid, 3-[2,6-dichloro-4-[[(2,4-dichlorophenyl)sulfonyl]amino]phenoxy]- (9CI) (CA INDEX NAME)



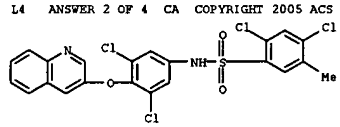
RN 315224-33-0 CA
CN Benzenesulfonamide, 2,4-dichloro-N-[3,5-dichloro-4-[(6-methyl-3-quinolinyl)oxy]phenyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 315224-34-1 CA
CN Benzenesulfonamide, 2,4-dichloro-N-[3-chloro-5-fluoro-4-(3-quinolinyloxy)phenyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 315226-32-5 CA
CN Benzenesulfonamide, 2,4-dichloro-N-[3,5-dichloro-4-(3-quinolinyloxy)phenyl]-5-methyl- (9CI) (CA INDEX NAME)



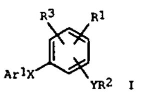
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CA

ACCESSION NUMBER: 135:352829 CA
TITLE: Combination therapeutic compositions containing benzene compounds
INVENTOR(S): Jaen, Juan C.; Chen, Jin-Long
PATENT ASSIGNEE(S): Tularik Inc., USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001082916	A2	20011108	WO 2001-US14393		20010502
WO 2001082916	A3	20020704			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
US 2002037928	A1	20020328	US 2001-847887		20010502
US 6653332	B2	20031125			
US 2004259918	A1	20041223	US 2003-456932		20030605
PRIORITY APPLN. INFO.:			US 2000-201613P	P	20000503
			US 2001-847887	A1	20010502

OTHER SOURCE(S): MARPAT 135:352829
GI



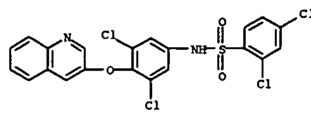
I

AB The present invention provides pharmaceutical compns. and methods for the treatment of diabetes mellitus using combination therapy. The compns. relate to a benzene compound and an antidiabetic agent such as sulfonylureas, biguanides, glitazones, α-glucosidase inhibitors, potassium channel antagonists, aldose reductase inhibitors, glucagon antagonists, activators of RXR, insulin therapy or other anti-obesity agent. The methods include the administration of the combination of benzene compound with antidiabetic agent where the two components are delivered in a simultaneous manner, where the benzene compound is administered first, followed by the antidiabetic agent, as well as wherein the antidiabetic agent is delivered first followed by the benzene compound For example, the benzene compound (I) was synthesized using a 5-amino-2-(3-chloro-5-pyridyloxy)benzonitrile (0.457 g) in methylene

L4 ANSWER 3 OF 4 CA (Continued)

chloride to which was added 2,4-dichlorobenzenesulfonyl chloride (0.456 g), followed by pyridine (150 μL). The reaction progress was monitored by TLC, and upon completion the solvent was removed under vacuum. The resulting residue was partitioned between methylene chloride and water. The org. layer was drawn off and concd. The residue was triturated with ether to provide 0.447 g of I as a white solid, m.p. 154-156°.

IT **315224-26-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(benzene compds. in combination therapy for diabetes and diabetes-related disorders)
RN 315224-26-1 CA
CN Benzenesulfonamide, 2,4-dichloro-N-[3,5-dichloro-4-(3-quinolinyloxy)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 4 CA

ACCESSION NUMBER: 134:71498 CA
TITLE: Preparation of heterocyclyl substituted benzenesulfonamides and pyridinesulfonamides for the modulation of PPARγ activity
INVENTOR(S): McGee, Lawrence R.; Houze, Jonathan B.; Rubenstein, Steven M.; Hagiwara, Atsushi; Furukawa, Noboru; Shinkai, Hisashi
PATENT ASSIGNEE(S): Tularik Inc., USA; Japan Tobacco Inc.
SOURCE: PCT Int. Appl., 232 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001000579	A1	20010104	WO 2000-US18178		20000628
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2377309	AA	20010104	CA 2000-2377309		20000628
EP 1192137	A1	20020403	EP 2000-946961		20000628
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2003503387	T2	20030128	JP 2001-506989		20000628
NZ 516455	A	20040326	NZ 2000-516455		20000628
AU 779730	B2	20050210	AU 2000-60643		20000628
ZA 2002000057	A	20030319	ZA 2002-57		20020103
US 2003139390	A1	20030724	US 2002-209205		20020730
US 6770648	B2	20040803			
US 2004248882	A1	20041209	US 2004-810325		20040325
PRIORITY APPLN. INFO.:			US 1999-141672P	P	19990630
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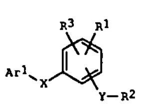
			US 2000-606433	A1	20000628
			WO 2000-US18178	W	20000628
			US 2002-209205	A1	20020730

OTHER SOURCE(S): MARPAT 134:71498
GI



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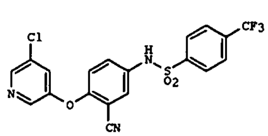
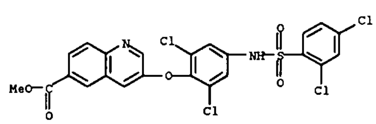


II

L4 ANSWER 4 OF 4 CA (Continued)

AB The title compds. [I; Ar1 = (un)substituted aryl; X = alkylene, O, alkylenoxy, etc.; Y = alkylene, O, CO, etc.; R1 = H, heteroalkyl, aryl, halo, etc.; R2 = (un)substituted aryl; R3 = halo, CN, NO2, alkoxy] which are modulators of PPARγ activity and therefore are useful for the treatment of conditions such as type II diabetes and obesity, were prepared E.g., a multi-step synthesis of the benzenesulfonamide II which showed IC50 of < 1 μM against PPARγ binding, was given.

IT **315224-28-3P 315224-30-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of heterocyclyl substituted benzenesulfonamides and pyridinesulfonamides for the modulation of PPARγ activity)
RN 315224-28-3 CA
CN 6-Quinolinecarboxylic acid, 3-[2,6-dichloro-4-[[(2,4-dichlorophenyl)sulfonyl]amino]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 315224-30-7 CA
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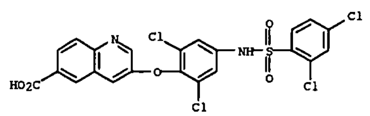
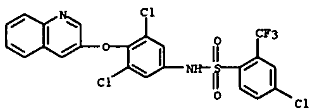
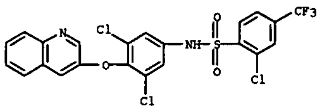


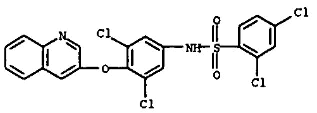
IT **315224-24-9P 315224-25-0P 315224-26-1P 315224-29-4P 315224-31-8P 315224-33-0P 315224-34-1P 315226-32-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclyl substituted benzenesulfonamides and pyridinesulfonamides for the modulation of PPARγ activity)
RN 315224-24-9 CA
CN Benzenesulfonamide, 4-chloro-N-[3,5-dichloro-4-(3-quinolinyloxy)phenyl]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



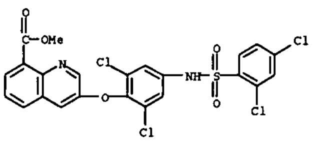
RN 315224-25-0 CA
CN Benzenesulfonamide, 2-chloro-N-[3,5-dichloro-4-(3-quinolinyloxy)phenyl]-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 315224-26-1 CA
CN Benzenesulfonamide, 2,4-dichloro-N-[3,5-dichloro-4-(3-quinolinyloxy)phenyl]- (9CI) (CA INDEX NAME)

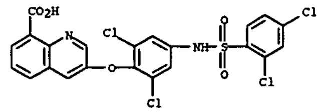


RN 315224-29-4 CA
CN 8-Quinolinecarboxylic acid, 3-[2,6-dichloro-4-[[(2,4-dichlorophenyl)sulfonyl]amino]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

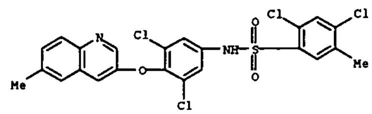


RN 315224-31-8 CA
CN 8-Quinolinecarboxylic acid, 3-[2,6-dichloro-4-[[(2,4-dichlorophenyl)sulfonyl]amino]phenoxy]- (9CI) (CA INDEX NAME)

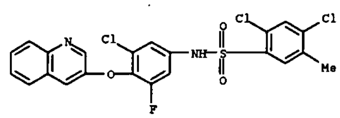
L4 ANSWER 4 OF 4 CA COPYRIGHT 2005 ACS on STN (Continued)



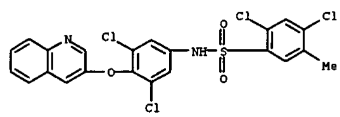
RN 315224-33-0 CA
CN Benzenesulfonamide, 2,4-dichloro-N-[3,5-dichloro-4-[(6-methyl-3-quinolinyl)oxy]phenyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 315224-34-1 CA
CN Benzenesulfonamide, 2,4-dichloro-N-[3-chloro-5-fluoro-4-(3-quinolinyloxy)phenyl]-5-methyl- (9CI) (CA INDEX NAME)



RN 315226-32-5 CA
CN Benzenesulfonamide, 2,4-dichloro-N-[3,5-dichloro-4-(3-quinolinyloxy)phenyl]-5-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/719,997

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=> file marpat


=> s l1 full
L5             4 SEA SSS FUL L1

=> d ibib abs fqhit 1-4
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L5 ANSWER 1 OF 4 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 140:105269 MARPAT

TITLE: IL-5 formation-inhibiting anilines, cytokine formation inhibitors, and pharmaceuticals containing them

INVENTOR(S): Kato, Fuminori; Kimura, Hirohiko; Yuki, Shunji; Yamamoto, Kazuhiro; Sano, Mitsuo; Okada, Takashi

PATENT ASSIGNEE(S): Ishihara Sangyo Kaisha, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 26 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

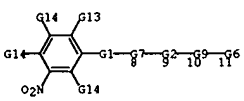
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004018465	A2	20040122	JP 2002-176258	20020617
PRIORITY APPLN. INFO.:			JP 2002-176258	20020617

AB Aniline derivs., useful for prevention and treatment of allergic diseases, chronic inflammations, systemic autoimmune diseases, etc., are claimed. 4-Aminophenol (220 mg) was etherified with 400 mg 2-chloro-3,5-bis(trifluoromethyl)pyridine and amidated by 220 mg 2-chloro-5-nitrobenzoyl chloride to give 220 mg N-[4-[3,5-bis(trifluoromethyl)-2-pyridyloxy]phenyl]-2-chloro-5-nitrobenzamide, which (at 0.1 μg/mL) in vitro showed 81 and 0% inhibition of IL-5 and IFN-γ formation, resp., by mouse spleen cells.

MSTR 1



G1 = SO2
G2 = p-C6H4 (SO (-4) G3)
G3 = X
G6 = quinolinyl
G7 = NH
G9 = O
G14 = X
MPL: disclosure
NTE: or salts
NTE: additional substitution also disclosed

L5 ANSWER 2 OF 4 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 136:69820 MARPAT

TITLE: Preparation of quinolinyl and benzothiazolyl PPAR-gamma modulators

INVENTOR(S): Mcgee, Lawrence R.; Houze, Jonathan B.; Rubenstein, Steven M.; Hagiwara, Atsushi; Furukawa, Noboru; Shinkai, Hisashi

PATENT ASSIGNEE(S): Tularik Inc., USA; Japan Tobacco, Inc.

SOURCE: PCT Int. Appl., 162 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

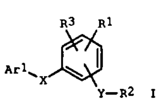
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000633	A1	20020103	WO 2001-US20756	20010627
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2412723	AA	20020103	CA 2001-2412723	20010627
US 2002169185	A1	20021114	US 2001-894980	20010627
US 6583157	B2	20030624		
EP 1296967	A1	20030402	EP 2001-950669	20010627
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012115	A	20030429	BR 2001-12115	20010627
JP 2004501905	T2	20040122	JP 2002-505381	20010627
NZ 523229	A	20041029	NZ 2001-523229	20010627
US 2003171399	A1	20030911	US 2002-278851	20021021
NO 2002006156	A	20030225	NO 2002-6156	20021220
US 2004176409	A1	20040909	US 2003-719997	20031120
PRIORITY APPLN. INFO.:			US 2000-214810P	20000628
			US 1998-73042P	19980129
			US 2001-894980	20010627
			WO 2001-US20756	20010627
			US 2002-278851	20021021

Parent

GI

L5 ANSWER 2 OF 4 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



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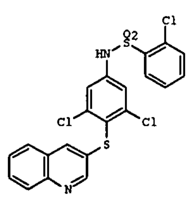
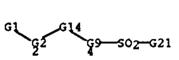


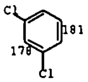
II

AB The title compds. [I; Ar1 = (un)substituted 2-benzothiazolyl or quinolinyl; X = O, CO, CHR10, NR11, S(O)k; Y = NR12SO2; R1 = H, halo, alkyl, etc.; R2 = (un)substituted aryl; R3 = halo, alkoxy; R10 = H, CN, alkyl; R11 = H, alkyl; R12 = H, alkyl; k = 0-2], useful in the treatment or prevention of a condition or disorder mediated by PPARγ such as diabetes, obesity, hypercholesterolemia, rheumatoid arthritis and atherosclerosis, were prepared Thus, reacting 3,5-dichloro-4-(quinolin-3-ylsulfanyl)aniline (preparation given) with 2-chlorobenzenesulfonyl chloride in the presence of pyridine and catalytic amount of DMAP in THF/CH2Cl2 afforded 78% II which showed IC50 of < 1 μM against PPARγ ligand binding.

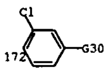
MSTR 1



G1 = quinolinyl (SO)
G2 = O
G9 = NH
G14 = 178-2 181-4



G21 = 172



G30 = CF3
MPL: claim 1

L5 ANSWER 2 OF 4 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

NTE: substitution is restricted

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 4 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 135:352829 MARPAT
TITLE: Combination therapeutic compositions containing benzene compounds
INVENTOR(S): Jaen, Juan C.; Chen, Jin-Long
PATENT ASSIGNEE(S): Tularik Inc., USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001082916	A2	20011108	WO 2001-US14393	20010502
WO 2001082916	A3	20020704		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002037928	A1	20020328	US 2001-847887	20010502
US 6653332	B2	20031125		
US 2004259918	A1	20041223	US 2003-456932	20030605
PRIORITY APPLN. INFO.:			US 2000-201613P	20000503
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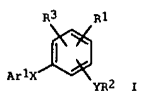

AB The present invention provides pharmaceutical compns. and methods for the treatment of diabetes mellitus using combination therapy. The compns. relate to a benzene compound and an antidiabetic agent such as sulfonylureas, biguanides, glitazones, α-glucosidase inhibitors, potassium channel antagonists, aldose reductase inhibitors, glucagon antagonists, activators of RXR, insulin therapy or other anti-obesity agent. The methods include the administration of the combination of benzene compound with antidiabetic agent where the two components are delivered in a simultaneous manner, where the benzene compound is administered first, followed by the antidiabetic agent, as well as wherein the antidiabetic agent is delivered first followed by the benzene compound For example, the benzene compound (I) was synthesized using a 5-amino-2-(3-chloro-5-pyridyloxy)benzonitrile (0.457 g) in methylene chloride to which was added 2,4-dichlorobenzenesulfonyl chloride (0.456

L5 ANSWER 3 OF 4 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
g), followed by pyridine (150 μL). The reaction progress was monitored by TLC, and upon completion the solvent was removed under vacuum. The resulting residue was partitioned between methylene chloride and water. The org. layer was drawn off and concd. The residue was triturated with ether to provide 0.447 g of I as a white solid, m.p. 154-156°.

MSTR 1A

G1—G2—G8—G22

G1 = quinolinyl
G2 = O
G7 = NH
G8 = p-C6H4 (SR (1-2) G29)
G23 = S
G27 = CF3
G29 = Cl
G32 = Ph (SO (1-3) G27)
MPL: claim 1
NTE: or pharmaceutically acceptable salts

L5 ANSWER 4 OF 4 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 134:71498 MARPAT
TITLE: Preparation of heterocyclyl substituted benzenesulfonamides and pyridinesulfonamides for the modulation of PPARγ activity
INVENTOR(S): McGee, Lawrence R.; Houze, Jonathan B.; Rubenstein, Steven M.; Hagiwara, Atsushi; Furukawa, Noboru; Shinkai, Hisashi
PATENT ASSIGNEE(S): Tularik Inc., USA; Japan Tobacco Inc.
SOURCE: PCT Int. Appl., 232 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000579	A1	20010104	WO 2000-US18178	20000628

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2377309	AA	20010104	CA 2000-2377309	20000628
EP 1192137	A1	20020403	EP 2000-946961	20000628

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2003503387	T2	20030128	JP 2001-506989	20000628
NZ 516455	A	20040326	NZ 2000-516455	20000628
AU 779730	B2	20050210	AU 2000-60643	20000628
ZA 2002000057	A	20030319	ZA 2002-57	20020103
US 2003139390	A1	20030724	US 2002-209205	20020730
US 6770648	B2	20040803		
US 2004248882	A1	20041209	US 2004-810325	20040325
PRIORITY APPLN. INFO.:			US 1999-141672P	19990630
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			US 2000-606433	20000628
			WO 2000-US18178	20000628
			US 2002-209205	20020730

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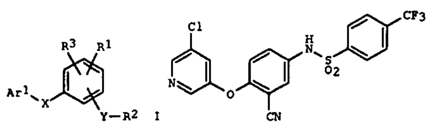
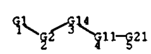


I II

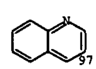
AB The title compds. [I; Ar1 = (un)substituted aryl; X = alkylene, O, alkylenoxy, etc.; Y = alkylene, O, CO, etc.; R1 = H, heteroalkyl, aryl, halo, etc.; R2 = (un)substituted aryl; R3 = halo, CN, NO2, alkoxy] which

L5 ANSWER 4 OF 4 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
are modulators of PPARγ activity and therefore are useful for the treatment of conditions such as type II diabetes and obesity, were prepd. E.g., a multi-step synthesis of the benzenesulfonamide II which showed IC50 of < 1 μM against PPARγ binding, was given.

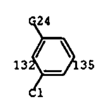
MSTR 1



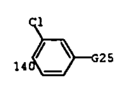
G1 = 97



G2 = O
G9 = NH
G12 = S
G14 = 132-2 135-4



G21 = 140



G24 = Cl
G25 = CF3
MPL: claim 1
NTE: substitution is restricted

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT.

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L2            0 S L1 SAM
L3           12 S L1 FULL

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L4            4 S L3

     FILE 'MARPAT' ENTERED AT 09:29:29 ON 06 JUN 2005
L5            4 S L1 FULL

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---Logging off of STN---



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Executing the logoff script...


=> LOG Y

STN INTERNATIONAL LOGOFF AT 09:30:11 ON 06 JUN 2005
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